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=> file ca

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FULL ESTIMATED COST

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FILE COVERS 1907 - 17 May 2007 VOL 146 ISS 22 FILE LAST UPDATED: 17 May 2007 (20070517/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s (azalide or azithromycin or homoerythromycin) and aminopropyl

240 AZALIDE

3399 AZITHROMYCIN

90 HOMOERYTHROMYCIN

16481 AMINOPROPYL

L1 6 (AZALIDE OR AZITHROMYCIN OR HOMOERYTHROMYCIN) AND AMINOPROPYL

=> d l1 1-6

L1 ANSWER 1 OF 6 CA COPYRIGHT 2007 ACS on STN

AN 145:249459 CA

TI Preparation of novel antimalarial 9a-carbamoyl-aminoalkyl and 9a-thiocarbamoyl-aminoalkyl azalides

IN Bukvic Krajacic, Mirjana; Kujundzic, Nedjeljko; Ivezic, Zrinka; Alihodzic, Sulejman; Hutinec, Antun; Fajdetic, Andrea

PA Glaxosmithkline Istrazivacki Centar Zagreb D.O.O., Croatia

SO PCT Int. Appl., 115pp. CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

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PATENT NO.
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ΑN
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ΤI
      9a-carbamoyl-y-aminopropyl- and 9a-thiocarbamoyl-y-amonopropyl-
      azalides with antimalarial activity
IN
      Ivezic, Zrinka; Alihodzic, Sulejman
PA
      Pliva-Istrazivacki Institut D.O.O, Croatia
SO
      PCT Int. Appl., 42 pp.
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LA
      English
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L1
     ANSWER 3 OF 6 CA COPYRIGHT 2007 ACS on STN
AN
     144:254303 CA
TI
     Synthesis, characterization and in vitro antimicrobial activity of novel
     sulfonylureas of 15-membered azalides
AU
     Krajacic, Mirjana Bukvic; Kujundzic, Nedjeljko; Dumic, Miljenko; Cindric,
     Mario; Brajsa, Karmen; Metelko, Biserka; Novak, Predrag
CS
     PLIVA-Research and Development Ltd., Zagreb, HR-10000, Croatia
SO
     Journal of Antibiotics (2005), 58(6), 380-389
     CODEN: JANTAJ; ISSN: 0021-8820
PB
     Japan Antibiotics Research Association
DT
     Journal
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os
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RE.CNT 23
                THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
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141:71789 CA
\mathbf{A}\mathbf{N}
     Preparation of carbamoyl derivatives of 9-deoxo-9-dihydro-9a-aza-9a-
TI
     homoerythromycin A and 5-O-desosaminyl-9-deoxo-9-dihydro-9a-aza-9a-
     homoerythronolide A
     Kujundzic, Nedjeljko; Bukvic, Krajacic Mirjana; Brajsa, Karmen
IN
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     Pliva D.D., Croatia
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     PCT Int. Appl., 42 pp.
     CODEN: PIXXD2
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     MARPAT 141:71789
     ANSWER 5 OF 6 CA COPYRIGHT 2007 ACS on STN
L1
AN
     137:109163 CA
     Preparation of conjugates of immune cell specific macrolide compounds with
ΤI
     anti-inflammatory compounds for improved cellular targeting of
     anti-inflammatory therapy
     Mercep, Mlanden; Mesic, Milan; Tomaskovic, Linda; Komac, Marijana;
IN
     Hrvacic, Boska; Markovic, Stribor
PA
     Pliva D.D., Croatia
SO
     PCT Int. Appl., 79 pp.
     CODEN: PIXXD2
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ANSWER 4 OF 6 CA COPYRIGHT 2007 ACS on STN

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L1
    ANSWER 6 OF 6 CA COPYRIGHT 2007 ACS on STN
AN
     103:22875 CA
TI
    Antibacterial cyclic ethers of 9-deoxo-9a-aza-9a-homoerythromycin
     A and intermediates
PΑ
     Pfizer Inc., USA
SO
    U.S., 10 pp. Cont.-in-part of U.S. Ser. No. 497,473, abandoned.
    CODEN: USXXAM
\mathbf{DT}
    Patent
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    English
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    MARPAT 103:22875
=> d l1 1-6 an ab
L1
    ANSWER 1 OF 6 CA COPYRIGHT 2007 ACS on STN
    145:249459 CA
ΑN
AΒ
    Novel 9a-N'-substituted-carbamoyl- and thiocarbamoyl-aminoalkyl-9a-aza-9-
    deoxo- 9-dihydro-9a-homoerythromycin A and 3-
    O-decladinosyl-9a-aza-9-deoxo-9-dihydro- 9a-homoerythromycin A
    compds. I, wherein R is H, cladinosyl; R1 is H, β-cyanoethyl,
    \betaamidoethyl \beta-(alkoxycarbonyl)ethyl; R2 is substituted alkyl,
    substituted alkenyl, substituted aromatic carbocycle, substituted aromatic
    heterocycle, aryl; R3 is H, alkyl; X is O, S; n is 2 or 3; were prepared and
    tested as having antimalarial agents. More particularly, the invention
    relates to 9a-N'-substituted-carbamoyl- and thiocarbamoyl-
    \beta-aminoethyl- or -\gamma- aminopropyl-9a-aza-9-deoxo-9-
    dihydro-9a-homoerythromycin A and 3-O-decladinosyl-9a-aza-9-
    deoxo-9-dihydro-9a-homoerythromycin A compds. and to
    pharmaceutically acceptable derivs. thereof having antimalarial activity.
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Thus, 9-deoxo-9-dihydro-9a-(N'-isopropylcarbamoyl-β-aminoethyl)-9a-

aza-9a-homoerythromycin A (II) was prepared and tested in mice as antimalarial agent. In vivo malaria Rhesus presumptive causal prophylactic test was reported to determine if test compds. have activity against either the sporozoite and/or exo-erythrocytic (EE) stages of Plasmodium cynomolgi in Rhesus monkeys. II showed in comparison with azithromycin, tested against the parasite strains [TM911C235 (IC50 = 619.1 ng/mL), (IC50 of azithromycin = 1621.2 ng/mL) and W2 (IC50 = 1777.2 ng/mL), azithromycin = 1759.2 ng/mL] with different patterns of resistance.

- L1 ANSWER 2 OF 6 CA COPYRIGHT 2007 ACS on STN
- AN 145:137808 CA
- AB 9A-Carbamoyl- $\gamma$ -aiHinopropyl- and Pa-thiocarbamoyl- $\gamma$ -aminopropyl-azalides and their pharmaceutically acceptable derivs. are useful for treatment and prevention of malaria.
- L1 ANSWER 3 OF 6 CA COPYRIGHT 2007 ACS on STN
- AN 144:254303 CA
- AB Three series of the novel sulfonylurea derivs. of 15-membered azalides, i.e. 9a-N-[N'-(aryl)sulfonylcarbamoyl]-, 9a-N-{N'-(aryl)sulfonylcarbamoyl-γ- aminopropyl]}- and 9a-N-{N'-(β-cyanoethyl)-N'-[(aryl)sulfonylcarabamoyl-γ- aminopropyl]} derivs. of 9-deoxo-9-dihydro-9a-aza-9a-homoerythromycin A and 5-O-desosaminyl-9-deoxo-9-dihydro-9a-aza-9a-homoerythronolide A were prepared, and their structures were elucidated by NMR, IR, and mass spectrometry. Minimal inhibitory concentration (MIC) of these compds. was determined

on a panel of sensitive and resistant Gram-pos. and Gram-neg. bacterial strains. Several compds. of the series of 9a-N-[N'-(aryl)sulfonylcarbamoyl] derivs. that showed significant improvements in activity against inducible resistant Streptococcus pyogenes strain were suggested for further optimization.

- L1 ANSWER 4 OF 6 CA COPYRIGHT 2007 ACS on STN
- AN 141:71789 CA
- AB The invention relates to N'-substituted 9a-N-(N'-carbamoyl-γaminopropyl), 9a-N-(N'-thiocarbamoyl-γ- aminopropyl
  ), 9a-N-[N'-(β-cyanoethyl)-N'-carbamoyl-γ- aminopropyl
  ] and 9a-N-[N'-(β-cyanoethyl)-N'-thiocarbamoyl-γaminopropyl] derivs. I [R = H, cladinosyl; R1 = H,
  β-cyanoethyl; R2 = iso-Pr, 1-naphthyl, 2-naphthyl, benzyl,
  2-(trifluoromethyl)phenyl, 3-phenylpropyl, β-phenylethyl,
  (ethoxycarbonyl)methyl, 1-(1-naphthyl)ethyl, 3,4,5-trimethoxyphenyl,
  2,4-dichlorophenyl; X = 0, S] of 9-deoxo-9-dihydro-9a-aza-9ahomoerythromycin A and 5-O-desosaminyl-9-deoxo-9-dihydro-9a-aza-9ahomoerythronolide A, novel semisynthetic macrolide antibiotics of the
  azalide series and their acceptable addition salts with inorg. or
  organic acids, to the process for preparation of their pharmaceutical compns.

well as the use their compns. in the treatment of bacterial infections. Thus, 9a-N-(N'-isopropylcarbamoyl- $\gamma$ - aminopropyl )-9-deoxo-9-dihydro-9a-aza-9a-homoerythromycin A (I; R1 = H, R2 = CHMe2) was prepared from 9a-N-( $\gamma$ - aminopropyl )-9-deoxo-9-dihydro-9a-aza-9a-homoerythromycin A via carbamylation with iso-Pr isocyanate in PhMe. The antibacterial activity of I (R1 = H, R2 = CHMe2) was determined [MIC = 2.0  $\mu$ g/mL vs. S. aureus (ATCC 13709); MIC =  $\leq$  0.12  $\mu$ g/mL vs. S. pneumoniae; MIC =  $\leq$  01.2  $\mu$ g/mL vs. S. pyogenes; MIC = 0.5  $\mu$ g/mL vs. M. catarrhalis (ATCC 49247)].

- L1 ANSWER 5 OF 6 CA COPYRIGHT 2007 ACS on STN
- AN 137:109163 CA
- AB Compds. of the general structure M-L-A [M = macrolide possessing the property of accumulation in inflammatory cells; A = steroid or nonsteroid

anti-inflammatory subunit; L = linking chain] were prepared for pharmaceutical use as immune cell specific anti-inflammatory agents for the treatment of inflammatory diseases in humans and animals. Thus, macrolide-steroid conjugate I was prepared via amidation reaction of  $(6\alpha,11\beta,16\alpha,17\alpha)$ -9-chloro-6-fluoro-11,17-dihydroxy-16-methyl-3-oxoandrosta-1,4-diene-17-carboxylic acid with the corresponding N-demethyl-N-(3-aminopropyl)-azithromycin derivative The prepared macrolide conjugates were assayed for human glucocorticoid receptor binding, for steroid introduction into cells, for inhibition of mouse T-cell hybridoma 13 proliferation, and for inhibition of interleukin-2 production

- L1 ANSWER 6 OF 6 CA COPYRIGHT 2007 ACS on STN
- AN 103:22875 CA
- Antibacterial (no data) title compds. I (n = 1, 2, or 3; wavy line at the 4''-OH group represents axial and equatorial configuration) were prepared Thus, 4''-epi-9-deoxo-9a-aza-9a-homoerythromycin A was refluxed with acrylonitrile for 19 h to give 4''-epi-9-deoxo-9a-( $\beta$ -cyanoethyl)-9a-aza-9a-homoerythromycin A, which was hydrogenated over Raney Ni and the resultant 9a-( $\gamma$  aminopropyl) derivative was treated with isoamyl nitrite and AcOH in CHCl3 to give I (n = 3; 4''-axial OH) and 4''-epi-9-deoxo-9a-( $\gamma$ -acetoxypropyl)-9a-aza-9a-homoerythromycin A, which were separated by chromatog. on formamide-impregnated silica gel.

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(FILE 'HOME' ENTERED AT 15:05:42 ON 24 MAY 2007)

FILE 'CA' ENTERED AT 15:06:03 ON 24 MAY 2007
L1 6 S (AZALIDE OR AZITHROMYCIN OR HOMOERYTHROMYCIN) AND AMINOPROPYL

P 1

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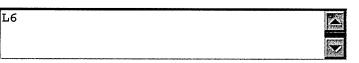
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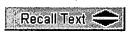
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## **Search History**

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Set Name side by side	Query	Hit Count	Set Name result set
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<u>L1</u>	aminopropyl near5 azithromycin	0	<u>L1</u>

**END OF SEARCH HISTORY**